

10577561

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* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 APR 04 STN AnaVist, Version 1, to be discontinued
NEWS 3 APR 15 WPIDS, WPINDEX, and WPIX enhanced with new
predefined hit display formats
NEWS 4 APR 28 EMBASE Controlled Term thesaurus enhanced
NEWS 5 APR 28 IMSRESEARCH reloaded with enhancements
NEWS 6 MAY 30 INPAFAMDB now available on STN for patent family
searching
NEWS 7 MAY 30 DGENE, PCTGEN, and USGENE enhanced with new homology
sequence search option
NEWS 8 JUN 06 EPFULL enhanced with 260,000 English abstracts
NEWS 9 JUN 06 KOREAPAT updated with 41,000 documents
NEWS 10 JUN 13 USPATFULL and USPAT2 updated with 11-character
patent numbers for U.S. applications
NEWS 11 JUN 19 CAS REGISTRY includes selected substances from
web-based collections
NEWS 12 JUN 25 CA/CAPLUS and USPAT databases updated with IPC
reclassification data
NEWS 13 JUN 30 AEROSPACE enhanced with more than 1 million U.S.
patent records
NEWS 14 JUN 30 EMBASE, EMBAL, and LEMBASE updated with additional
options to display authors and affiliated
organizations
NEWS 15 JUN 30 STN on the Web enhanced with new STN AnaVist
Assistant and BLAST plug-in
NEWS 16 JUN 30 STN AnaVist enhanced with database content from EPFULL
NEWS 17 JUL 28 CA/CAPLUS patent coverage enhanced
NEWS 18 JUL 28 EPFULL enhanced with additional legal status
information from the EPOline Register
NEWS 19 JUL 28 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 20 JUL 28 STN Viewer performance improved
NEWS 21 AUG 01 INPADOCDB and INPAFAMDB coverage enhanced
NEWS 22 AUG 13 CA/CAPLUS enhanced with printed Chemical Abstracts
page images from 1967-1998
NEWS 23 AUG 15 CAOLD to be discontinued on December 31, 2008
NEWS 24 AUG 15 CAPLUS currency for Korean patents enhanced
NEWS 25 AUG 25 CA/CAPLUS, CASREACT, and IFI and USPAT databases
enhanced for more flexible patent number searching
NEWS 26 AUG 27 CAS definition of basic patents expanded to ensure
comprehensive access to substance and sequence

Updated Search

information

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
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NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 14:13:23 ON 29 AUG 2008

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:13:28 ON 29 AUG 2008
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STRUCTURE FILE UPDATES: 28 AUG 2008 HIGHEST RN 1044598-04-0
DICTIONARY FILE UPDATES: 28 AUG 2008 HIGHEST RN 1044598-04-0

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

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<http://www.cas.org/support/stngen/stdoc/properties.html>

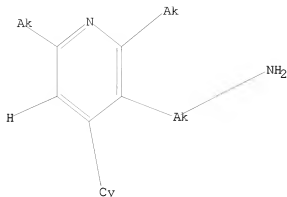
=>
Uploading C:\Documents and Settings\brobinson1\My Documents\561.str

L1 STRUCTURE UPLOADED

Updated Search

10577561

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 14:16:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 178600 TO ITERATE

1.1% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 3547109 TO 3596891
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\561a.str

L3 STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l3
SAMPLE SEARCH INITIATED 14:18:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 13877 TO ITERATE

Updated Search

10577561

14.4% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 270482 TO 284598
PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM L3

=> s l3 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 177.90 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 14:18:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 280424 TO ITERATE

100.0% PROCESSED 280424 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.03

L5 2 SEA SSS FUL L3

=> file hcaplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 182.04 182.25

FILE 'HCAPLUS' ENTERED AT 14:18:36 ON 29 AUG 2008
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FILE COVERS 1907 - 29 Aug 2008 VOL 149 ISS 10
FILE LAST UPDATED: 28 Aug 2008 (20080828/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l5

Updated Search

10577561

L6 1 L5

=> d l6, ibib abs hitstr, 1

L6 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:409480 HCAPLUS

DOCUMENT NUMBER: 142:463610

TITLE: Preparation of pyridines as inhibitors of dipeptidyl peptidase IV useful for the prophylaxis or treatment of diabetes

INVENTOR(S): Oi, Satoru; Maezaki, Hironobu; Suzuki, Nobuhiro

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 431 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

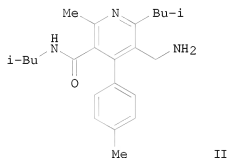
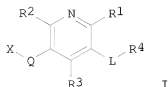
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

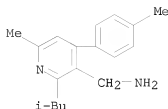
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042488	A1	20050512	WO 2004-JP16457	20041029
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004285807	A1	20050512	AU 2004-285807	20041029
CA 2543529	A1	20050512	CA 2004-2543529	20041029
JP 2006016377	A	20060119	JP 2004-315517	20041029
EP 1678138	A1	20060712	EP 2004-793377	20041029
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1886376	A	20061227	CN 2004-80034965	20041029
BR 2004015960	A	20070116	BR 2004-15960	20041029
MX 2006PA03979	A	20060705	MX 2006-PA3979	20060407
US 20070037807	A1	20070215	US 2006-577561	20060428
IN 2006KN01220	A	20070427	IN 2006-KN1220	20060510
NO 2006002516	A	20060725	NO 2006-2516	20060531
KR 2008067013	A	20080717	KR 2008-715446	20080625
PRIORITY APPLN. INFO.:			JP 2003-373776	A 20031031
			JP 2004-30491	A 20040206
			JP 2004-165977	A 20040603
			WO 2004-JP16457	W 20041029
			KR 2006-708423	A3 20060429
OTHER SOURCE(S):		CASREACT 142:463610; MARPAT 142:463610		
GI				

Updated Search



- AB Title compds. I [wherein R¹, R² = independently (un)substituted hydrocarbonyl, hydroxy; R³ = (un)substituted aryl; R⁴ = NH₂ and derivs.; L = divalent hydrocarbon chain; Q = a bond or a divalent hydrocarbon chain; X = H, CN, NO₂, acyl, OH and derivs., SH and derivs., NH₂ and derivs., (un)substituted cyclyl; provided that when X = -C(:O)OEt, then Q = divalent hydrocarbon chain and that certain compds. are absent; and their salts, prodrugs] were prepared as dipeptidyl peptidase IV inhibitors. For example, Boc-protection of Me 5-(aminomethyl)-6-isobutyl-2-methyl-4-(4-methylphenyl)nicotinate (preparation given), saponification, coupling of the acid with isobutylamine/deprotection gave II•2TFA. I show a superior dipeptidyl peptidase IV inhibitory activity, and are useful as agents for the prophylaxis or treatment of diabetes and related diseases.
- IT 851582-22-4P, [[2-Isobutyl-6-methyl-4-(4-methylphenyl)pyridin-3-yl]methyl]amine dihydrochloride
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of pyridines as inhibitors of dipeptidyl peptidase IV useful for prophylaxis or treatment of diabetes)
- RN 851582-22-4 HCAPLUS
- CN 3-Pyridinemethanamine, 6-methyl-4-(4-methylphenyl)-2-(2-methylpropyl)-, hydrochloride (1:2) (CA INDEX NAME)

10577561



● 2 HCl

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	8.14	190.39
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.80	-0.80

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DICTIONARY FILE UPDATES: 28 AUG 2008 HIGHEST RN 1044598-04-0

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<http://www.cas.org/support/stngen/stndoc/properties.html>

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Uploading C:\Documents and Settings\brobinson1\My Documents\adfnjgi.str

L7 STRUCTURE UPLOADED

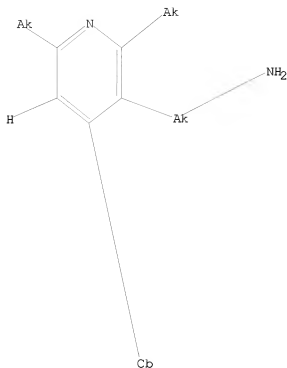
Updated Search

10577561

=> d 17

L7 HAS NO ANSWERS

L7 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 17

SAMPLE SEARCH INITIATED 14:20:58 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 178600 TO ITERATE

1.1% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 3547109 TO 3596891
PROJECTED ANSWERS: 0 TO 0

L8 0 SEA SSS SAM L7

=>

Uploading C:\Documents and Settings\brobinson1\My Documents\adfgag.str

L9 STRUCTURE UPLOADED

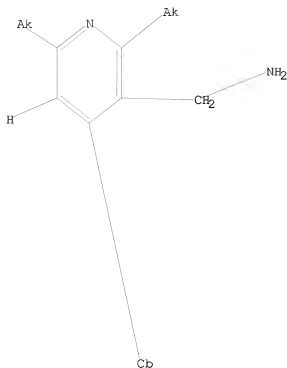
Updated Search

10577561

=> d 19

L9 HAS NO ANSWERS

L9 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 19

SAMPLE SEARCH INITIATED 14:23:22 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 24485 TO ITERATE

8.2% PROCESSED 2000 ITERATIONS

0 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 480335 TO 499065

PROJECTED ANSWERS: 0 TO 0

L10 0 SEA SSS SAM L9

=> s 19 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 177.90 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 14:23:26 FILE 'REGISTRY'

Updated Search

10577561

FULL SCREEN SEARCH COMPLETED - 490356 TO ITERATE

100.0% PROCESSED 490356 ITERATIONS
SEARCH TIME: 00.00.05

2 ANSWERS

L11 2 SEA SSS FUL L9

=> d his

(FILE 'HOME' ENTERED AT 14:13:23 ON 29 AUG 2008)

FILE 'REGISTRY' ENTERED AT 14:13:28 ON 29 AUG 2008

L1 STRUCTURE UPLOADED
L2 0 S L1
L3 STRUCTURE UPLOADED
L4 0 S L3
L5 2 S L3 FULL

FILE 'HCAPLUS' ENTERED AT 14:18:36 ON 29 AUG 2008

L6 1 S L5

FILE 'REGISTRY' ENTERED AT 14:18:51 ON 29 AUG 2008

L7 STRUCTURE UPLOADED
L8 0 S L7
L9 STRUCTURE UPLOADED
L10 0 S L9
L11 2 S L9 FULL

=> s l11 not l5

L12 0 L11 NOT L5

=>

Uploading C:\Documents and Settings\brobinson1\My Documents\aaaf.str

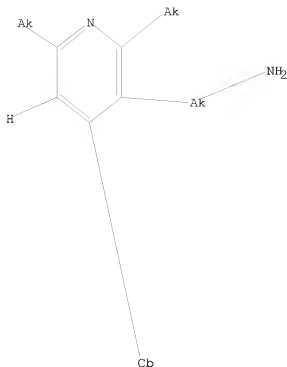
L13 STRUCTURE UPLOADED

=> d l13

L13 HAS NO ANSWERS
L13 STR

Updated Search

10577561



Structure attributes must be viewed using STN Express query preparation.

=> s l13

SAMPLE SEARCH INITIATED 14:25:36 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 178600 TO ITERATE

1.1% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 3547109 TO 3596891
PROJECTED ANSWERS: 0 TO 0

L14 0 SEA SSS SAM L13

=>

Uploading C:\Documents and Settings\brobinson1\My Documents\aaaty.str

L15 STRUCTURE UPLOADED

=> s l15

SAMPLE SEARCH INITIATED 14:27:28 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 178600 TO ITERATE

Updated Search

10577561

1.1% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 3547109 TO 3596891
PROJECTED ANSWERS: 0 TO 0

L16 0 SEA SSS SAM L15

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\aaat.str

L17 STRUCTURE UPLOADED

=> s l17
SAMPLE SEARCH INITIATED 14:28:25 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 24485 TO ITERATE

8.2% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 480335 TO 499065
PROJECTED ANSWERS: 0 TO 0

L18 0 SEA SSS SAM L17

=> s l17 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 177.90 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 14:28:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 490356 TO ITERATE

100.0% PROCESSED 490356 ITERATIONS 10 ANSWERS
SEARCH TIME: 00.00.05

L19 10 SEA SSS FUL L17

=> d his

(FILE 'HOME' ENTERED AT 14:13:23 ON 29 AUG 2008)

FILE 'REGISTRY' ENTERED AT 14:13:28 ON 29 AUG 2008

L1 STRUCTURE UPLOADED
L2 0 S L1
L3 STRUCTURE UPLOADED
L4 0 S L3
L5 2 S L3 FULL

FILE 'HCAPLUS' ENTERED AT 14:18:36 ON 29 AUG 2008

L6 1 S L5

Updated Search

10577561

FILE 'REGISTRY' ENTERED AT 14:18:51 ON 29 AUG 2008

L7 STRUCTURE UPLOADED
L8 0 S L7
L9 STRUCTURE UPLOADED
L10 0 S L9
L11 2 S L9 FULL
L12 0 S L11 NOT L5
L13 STRUCTURE UPLOADED
L14 0 S L13
L15 STRUCTURE UPLOADED
L16 0 S L15
L17 STRUCTURE UPLOADED
L18 0 S L17
L19 10 S L17 FULL

=> s l19 not l5
L20 10 L19 NOT L5

=> file hcaplus
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
363.16	553.55

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-0.80

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FILE 'HCAPLUS' ENTERED AT 14:28:44 ON 29 AUG 2008

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FILE COVERS 1907 - 29 Aug 2008 VOL 149 ISS 10
FILE LAST UPDATED: 28 Aug 2008 (20080828/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l20
L21 3 L20

Updated Search

=> s l21 and satoru, s?/au
 8 SATORU, S?/AU
 L22 0 L21 AND SATORU, S?/AU

=> s l21 and maezaki, h?/au
 12 MAEZAKI, H?/AU
 L23 1 L21 AND MAEZAKI, H?/AU

=> d l23, ibib abs hitstr, 1

L23 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

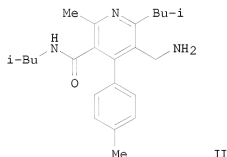
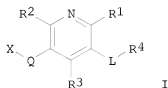
ACCESSION NUMBER: 2005:409480 HCAPLUS
 DOCUMENT NUMBER: 142:463610
 TITLE: Preparation of pyridines as inhibitors of dipeptidyl
 peptidase IV useful for the prophylaxis or treatment
 of diabetes
 INVENTOR(S): Oi, Satoru; Maezaki, Hironobu; Suzuki,
 Nobuhiro
 PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
 SOURCE: PCT Int. Appl., 431 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042488	A1	20050512	WO 2004-JP16457	20041029
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004285807	A1	20050512	AU 2004-285807	20041029
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1886376	A	20061227	CN 2004-80034965	20041029
BR 2004015960	A	20070116	BR 2004-15960	20041029
MX 2006PA03979	A	20060705	MX 2006-PA3979	20060407
US 20070037807	A1	20070215	US 2006-577561	20060428
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NO 2006002516	A	20060725	NO 2006-2516	20060531
KR 2008067013	A	20080717	KR 2008-715446	20080625
PRIORITY APPLN. INFO.:			JP 2003-373776	A 20031031
			JP 2004-30491	A 20040206

10577561

JP 2004-165977 A 20040603
 WO 2004-JP16457 W 20041029
 KR 2006-708423 A3 20060429

OTHER SOURCE(S): CASREACT 142:463610; MARPAT 142:463610
 GI



AB Title compds. I [wherein R₁, R₂ = independently (un)substituted hydrocarbyl, hydroxy; R₃ = (un)substituted aryl; R₄ = NH₂ and derivs.; L = divalent hydrocarbon chain; Q = a bond or a divalent hydrocarbon chain; X = H, CN, NO₂, acyl, OH and derivs., SH and derivs., NH₂ and derivs., (un)substituted cyclyl; provided that when X = -C(:O)OEt, then Q = divalent hydrocarbon chain and that certain compds. are absent; and their salts, prodrugs] were prepared as dipeptidyl peptidase IV inhibitors. For example, Boc-protection of Me 5-(aminomethyl)-6-isobutyl-2-methyl-4-(4-methylphenyl)nicotinate (preparation given), saponification, coupling of the acid with isobutylamine/deprotection gave II•2TFA. I show a superior dipeptidyl peptidase IV inhibitory activity, and are useful as agents for the prophylaxis or treatment of diabetes and related diseases.

IT 851578-91-1P 851578-95-5P, 5-(Aminomethyl)-6-butyl-2-methyl-4-(4-methylphenyl)nicotinic acid dihydrochloride 851578-98-8P, Methyl 5-(aminomethyl)-2-methyl-4-(4-methylphenyl)-6-propylnicotinate dihydrochloride 851579-02-7P, 5-(Aminomethyl)-2-methyl-4-(4-methylphenyl)-6-propylnicotinic acid dihydrochloride

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

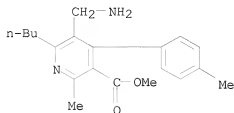
(drug candidate; preparation of pyridines as inhibitors of dipeptidyl peptidase IV useful for prophylaxis or treatment of diabetes)

Updated Search

10577561

RN 851578-91-1 HCAPLUS

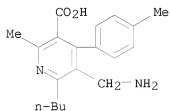
CN 3-Pyridinecarboxylic acid, 5-(aminomethyl)-6-butyl-2-methyl-4-(4-methylphenyl)-, methyl ester, hydrochloride (1:2) (CA INDEX NAME)



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RN 851578-95-5 HCAPLUS

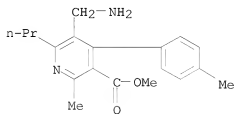
CN 3-Pyridinecarboxylic acid, 5-(aminomethyl)-6-butyl-2-methyl-4-(4-methylphenyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 851578-98-8 HCAPLUS

CN 3-Pyridinecarboxylic acid, 5-(aminomethyl)-2-methyl-4-(4-methylphenyl)-6-propyl-, methyl ester, hydrochloride (1:2) (CA INDEX NAME)



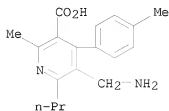
● 2 HCl

Updated Search

10577561

RN 851579-02-7 HCAPLUS

CN 3-Pyridinecarboxylic acid, 5-(aminomethyl)-2-methyl-4-(4-methylphenyl)-6-propyl-, hydrochloride (1:2) (CA INDEX NAME)



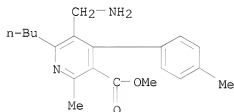
● 2 HCl

IT 851578-94-4P 851579-01-6P, Methyl 5-(aminomethyl)-2-methyl-4-(4-methylphenyl)-6-propylnicotinate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyridines as inhibitors of dipeptidyl peptidase IV useful for prophylaxis or treatment of diabetes)

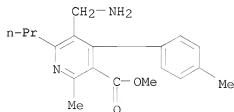
RN 851578-94-4 HCAPLUS

CN 3-Pyridinecarboxylic acid, 5-(aminomethyl)-6-butyl-2-methyl-4-(4-methylphenyl)-, methyl ester (CA INDEX NAME)



RN 851579-01-6 HCAPLUS

CN 3-Pyridinecarboxylic acid, 5-(aminomethyl)-2-methyl-4-(4-methylphenyl)-6-propyl-, methyl ester (CA INDEX NAME)



REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

Updated Search

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 14:13:23 ON 29 AUG 2008)

FILE 'REGISTRY' ENTERED AT 14:13:28 ON 29 AUG 2008

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L2 0 S L1
L3 STRUCTURE UPLOADED
L4 0 S L3
L5 2 S L3 FULL

FILE 'HCAPLUS' ENTERED AT 14:18:36 ON 29 AUG 2008

L6 1 S L5

FILE 'REGISTRY' ENTERED AT 14:18:51 ON 29 AUG 2008

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FILE 'HCAPLUS' ENTERED AT 14:28:44 ON 29 AUG 2008

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8826 SUZUKI, N?/AU
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L24 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER: 2001:278024 HCAPLUS

DOCUMENT NUMBER: 134:311111

TITLE: Preparation of substituted biphenyls as glucagon
receptor antagonists

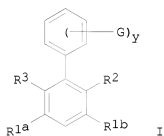
INVENTOR(S): Schoen, William R.; Ladouceur, Gaetan H.; Cook, James
H., II; Lease, Timothy G.; Wolanin, Donald J.; Kramss,
Richard H.; Hertzog, Donald L.; Osterhout, Martin H.

PATENT ASSIGNEE(S): Bayer Corporation, USA; Bayer A.-G.

10577561

SOURCE: U.S., 156 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6218431	B1	20010417	US 1997-904119	19970731
PRIORITY APPLN. INFO.:			US 1997-904119	19970731
OTHER SOURCE(S):	MARPAT	134:311111		
GI				

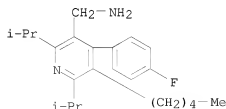


AB Substituted biphenyls I [R1a, R1b = alkyl; R2 = alkyl with substituents from 1 to 3 of SR7; R7 = Ph, or substituted Ph wherein the substituents are independently 1-5 of halogen, trifluoromethyl, alkyl, alkoxy, nitro, cyano, hydroxyl; R3 = alkyl with substituents of 1-2 hydroxyl groups; G represents a substituent selected from the group consisting of halogen, alkyl, OR4 with R4 = H, alkyl; y = 0-3], glucagon receptor antagonists. E.g., reduction of 2-cyclopentyl-6-ethyl-4-(4-fluorophenyl)-3-(3-trifluoromethylbenzyloxymethyl)pyridine-5-carboxylic acid Et ester with LiAlH4 gave 76.5% 2-cyclopentyl-6-ethyl-4-(4-fluorophenyl)-5-hydroxymethyl-3-(3-trifluoromethylbenzyloxymethyl)pyridine.

IT 202854-45-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of substituted biphenyls as glucagon receptor antagonists)

RN 202854-45-3 HCAPLUS

CN 3-Pyridinemethanamine, 4-(4-fluorophenyl)-2,6-bis(1-methylethyl)-5-pentyl-
 (CA INDEX NAME)



Updated Search

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER: 1998:105938 HCAPLUS

DOCUMENT NUMBER: 128:167354

ORIGINAL REFERENCE NO.: 128:32985a

TITLE: Preparation of substituted pyridines and biphenyls as anti-hypercholesteremic, anti-hyperlipoproteinemic and anti-hyperglycemic agents

INVENTOR(S): Schmidt, Gunter; Angerbauer, Rolf; Brandes, Arndt; Muller-Gliemann, Matthias; Bischoff, Hilmar; Schmidt, Delf; Wohlfeil, Stefan; Schoen, William R.; Ladouceur, Gaetan H.; Cook, James H., II; Lease, Timothy G.; Wolanin, Donald J.; Kramss, Richard H.; Hertzog, Donald L.; Osterhout, Martin H.

PATENT ASSIGNEE(S): Bayer Corporation, USA; Bayer Aktiengesellschaft

SOURCE: PCT Int. Appl., 431 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

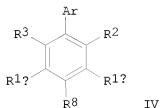
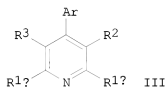
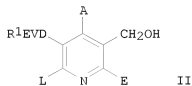
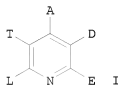
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AU 9738971	A	19980220	AU 1997-38971	19970729
ZA 9706730	A	19990729	ZA 1997-6730	19970729
EP 934274	A1	19990811	EP 1997-936259	19970729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1239474	A	19991222	CN 1997-198258	19970729
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NZ 333951	A	20000929	NZ 1997-333951	19970729
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HU 2001000324	A2	20010528	HU 2001-324	19970729
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JP 2001512416	T	20010821	JP 1998-509068	19970729
RU 2195443	C2	20021227	RU 1999-104527	19970729
TW 520360	B	20030211	TW 1997-86110851	19970729
NO 9900399	A	19990329	NO 1999-399	19990128
NO 314143	B1	20030203		
KR 2000029723	A	20000525	KR 1999-700826	19990130
IN 1999DE01499	A	20050701	IN 1999-DE1499	19991119

10577561

PRIORITY APPLN. INFO.:

US 1996-690111 A 19960731
IN 1997-DE2099 A3 19970729
WO 1997-US13248 W 19970729

OTHER SOURCE(S): MARPAT 128:16/354
GI



AB The title compds. [I (A = (un)substituted C6-10 aryl; D = up to 8 carbon atoms alkyl which is substituted by hydroxy; E, L = (un)substituted up to 8 carbon atoms alkyl; L = (un)substituted C6-10 aryl; T = R⁷X, R⁸C(R⁹)(R¹⁰); R⁷, R⁸ = cycloalkyl, aryl, etc.; R⁹, R¹⁰ = H, halo, N₃, etc.), II (R¹ = cycloalkyl, aryl, etc.; E, D = alkyl (up to 8 carbon atoms); E = a bond; V = O, S, NH, etc.), III (R^{1a}, R^{1b} = CF₃, C1-10 alkyl, C1-10 alkenyl, etc.; R² = C1-10 alkyl, C1-10 alkenyl, etc.; R³ = OH, CF₃, C1-6 alkanoyl, etc.; Ar = (un)substituted heteroaryl, aryl), IV], useful for the inhibition of cholesterol ester transfer proteins (CETP) (I), for the treatment of hyperlipoproteinemia (II), and for inhibition of the glucagon receptor, leading to treatment of glucagon-mediated conditions such as diabetes (III-IV), were prepared. Thus, reduction of Et 2,6-diisopropyl-4-(4-fluorophenyl)-3-[(4-fluorophenyl)-chloromethyl]pyridine-5-carboxylate (preparation described) with LiAlH₄ in THF afforded 69% I [A = 4-FC₆H₄; D = CH₂OH; E = L = iPr; T = 4-FC₆H₄CH₂]. For example, compound I [A = 4-FC₆H₄; D = CH₂OH; E = L = iPr; T = 4-FC₆H₄CH(NH₂)] showed IC₅₀ of 0.6 μM against CETP.

IT 202854-45-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

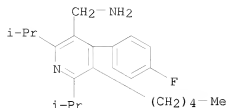
(preparation of substituted pyridines and biphenyls as anti-hypercholesteremic, anti-hyperlipoproteinemic and anti-hyperglycemic agents)

RN 202854-45-3 HCAPLUS

CN 3-Pyridinemethanamine, 4-(4-fluorophenyl)-2,6-bis(1-methylethyl)-5-pentyl-
(CA INDEX NAME)

Updated Search

10577561



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FULL ESTIMATED COST	19.04	572.59
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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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FILE 'HCAPLUS' ENTERED AT 14:18:36 ON 29 AUG 2008

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Updated Search

10577561

FILE 'REGISTRY' ENTERED AT 14:18:51 ON 29 AUG 2008

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STRUCTURE FILE UPDATES: 28 AUG 2008 HIGHEST RN 1044598-04-0
DICTIONARY FILE UPDATES: 28 AUG 2008 HIGHEST RN 1044598-04-0

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

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REGISTRY includes numerically searchable data for experimental and

Updated Search

predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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FILE COVERS 1907 - 29 Aug 2008 VOL 149 ISS 10
FILE LAST UPDATED: 28 Aug 2008 (20080828/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

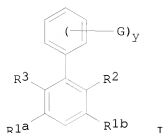
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L28 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:278024 HCAPLUS
DOCUMENT NUMBER: 134:311111
TITLE: Preparation of substituted biphenyls as glucagon
receptor antagonists
INVENTOR(S): Schoen, William R.; Ladouceur, Gaetan H.; Cook, James
H., II; Lease, Timothy G.; Wolanin, Donald J.; Kramss,
Richard H.; Hertzog, Donald L.; Osterhout, Martin H.
PATENT ASSIGNEE(S): Bayer Corporation, USA; Bayer A.-G.
SOURCE: U.S., 156 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 6218431	B1	20010417	US 1997-904119	19970731
PRIORITY APPLN. INFO.:			US 1997-904119	19970731
OTHER SOURCE(S):	MARPAT	134:311111		
GI				



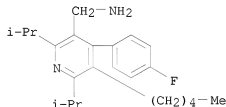
AB Substituted biphenyls I [R1a, R1b = alkyl; R2 = alkyl with substituents from 1 to 3 of SR7; R7 = Ph, or substituted Ph wherein the substituents are independently 1-5 of halogen, trifluoromethyl, alkyl, alkoxy, nitro, cyano, hydroxyl; R3 = alkyl with substituents of 1-2 hydroxyl groups; G represents a substituent selected from the group consisting of halogen, alkyl, OR4 with R4 = H, alkyl; y = 0-3], glucagon receptor antagonists. E.g., reduction of 2-cyclopentyl-6-ethyl-4-(4-fluorophenyl)-3-(3-trifluoromethylbenzyloxymethyl)pyridine-5-carboxylic acid Et ester with LiAlH4 gave 76.5% 2-cyclopentyl-6-ethyl-4-(4-fluorophenyl)-5-hydroxymethyl-3-(3-trifluoromethylbenzyloxymethyl)pyridine.

IT 202854-45-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted biphenyls as glucagon receptor antagonists)

RN 202854-45-3 HCAPLUS

CN 3-Pyridinemethanamine, 4-(4-fluorophenyl)-2,6-bis(1-methylethyl)-5-pentyl-
(CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:105938 HCAPLUS

DOCUMENT NUMBER: 128:167354

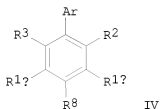
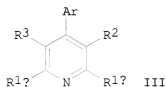
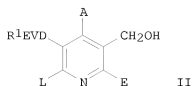
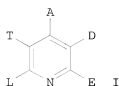
ORIGINAL REFERENCE NO.: 128:32985a

TITLE: Preparation of substituted pyridines and biphenyls as anti-hypercholesteremic, anti-hyperlipoproteinemic and anti-hyperglycemic agents

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Wolanin, Donald J.; Kramss, Richard H.; Hertzog,
Donald L.; Osterhout, Martin H.
PATENT ASSIGNEE(S): Bayer Corporation, USA; Bayer Aktiengesellschaft
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FAMILY ACC. NUM. COUNT: 1
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WO 9804528	A2	19980205	WO 1997-US13248	19970729
WO 9804528	A3	19991111		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2262434	A1	19980205	CA 1997-2262434	19970729
AU 9738971	A	19980220	AU 1997-38971	19970729
ZA 9706730	A	19990729	ZA 1997-6730	19970729
EP 934274	A1	19990811	EP 1997-936259	19970729
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CN 1239474	A	19991222	CN 1997-198258	19970729
TR 9902325	T2	20000221	TR 1999-2325	19970729
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NZ 333951	A	20000929	NZ 1997-333951	19970729
BR 9710637	A	20001031	BR 1997-10637	19970729
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KR 2000029723	A	20000525	KR 1999-700826	19990130
IN 1999DE01499	A	20050701	IN 1999-DE1499	19991119
PRIORITY APPLN. INFO.:				
			US 1996-690111	A 19960731
			IN 1997-DE2099	A3 19970729
			WO 1997-US13248	W 19970729
OTHER SOURCE(S): MARPAT 128:167354				
GI				



AB The title compds. [I (A = (un)substituted C₆-10 aryl; D = up to 8 carbon atoms alkyl which is substituted by hydroxy; E, L = (un)substituted up to 8 carbon atoms alkyl; L = (un)substituted C₆-10 aryl; T = R⁷X, R⁸C(R⁹)(R¹⁰); R₇, R₈ = cycloalkyl, aryl, etc.; R₉, R₁₀ = H, halo, N₃, etc.), II (R₁ = cycloalkyl, aryl, etc.; E, D = alkyl (up to 8 carbon atoms); E = a bond; V = O, S, NH, etc.), III (R_{1a}, R_{1b} = CF₃, C₁-10 alkyl, C₁-10 alkenyl, etc.; R₂ = C₁-10 alkyl, C₁-10 alkenyl, etc.; R₃ = OH, CF₃, C₁-6 alkanoyl, etc.; Ar = (un)substituted heteroaryl, aryl), IV], useful for the inhibition of cholesterol ester transfer proteins (CETP) (I), for the treatment of hyperlipoproteinemia (II), and for inhibition of the glucagon receptor, leading to treatment of glucagon-mediated conditions such as diabetes (III-IV), were prepared. Thus, reduction of Et 2,6-diisopropyl-4-(4-fluorophenyl)-3-[(4-fluorophenyl)-chloromethyl]pyridine-5-carboxylate (preparation described) with LiAlH₄ in THF afforded 69% I [A = 4-FC₆H₄; D = CH₂OH; E = L = iPr; T = 4-FC₆H₄CH₂]. For example, compound I [A = 4-FC₆H₄; D = CH₂OH; E = L = iPr; T = 4-FC₆H₄CH(NH₂)] showed IC₅₀ of 0.6 μM against CETP.

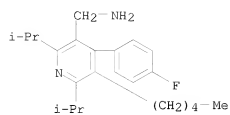
IT 202854-45-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted pyridines and biphenyls as anti-hypercholesteremic, anti-hyperlipoproteinemic and anti-hyperglycemic agents)

RN 202854-45-3 HCAPLUS

CN 3-Pyridinemethanamine, 4-(4-fluorophenyl)-2,6-bis(1-methylethyl)-5-pentyl-
(CA INDEX NAME)

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Updated Search